

=> b reg

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STRUCTURE FILE UPDATES: 2 AUG 2006 HIGHEST RN 898176-03-9  
DICTIONARY FILE UPDATES: 2 AUG 2006 HIGHEST RN 898176-03-9

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=> d que sta l19  
L12 STR

Hy--N  
1 2

NODE ATTRIBUTES:  
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DEFAULT ECLEVEL IS LIMITED

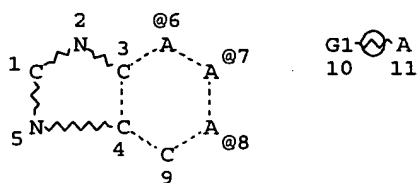
GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 2

STEREO ATTRIBUTES: NONE

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OR NCNC2-NC5-NC5 OR NCOC2-NC5-C6 OR NCOC2-NC5 OR NCSC2-NC5-C6  
OR NCSC2-NC5)/ES  
L14 1451827 SEA FILE=REGISTRY ABB=ON PLU=ON (NCOC2-NC5-NC5 OR NCSC2-NC5-N  
C5 OR NC5-C6 OR NC5-NC5 OR NCNC2-C6 OR NCNC2-NCNC3 OR NCNC2-NCN  
C2-NC5)/ES  
L15 1606332 SEA FILE=REGISTRY ABB=ON PLU=ON (L13 OR L14)  
L17 SCR 1568  
L19 67530 SEA FILE=REGISTRY SUB=L15 SSS FUL L12 AND L17

100.0% PROCESSED 96844 ITERATIONS 67530 ANSWERS  
SEARCH TIME: 00.00.02

=> d que sta l26  
L17 SCR 1568  
L24 STR



VAR G1=6/7/8

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

L26 1194 SEA FILE=REGISTRY SSS FUL L24 AND L17

100.0% PROCESSED 53414 ITERATIONS

1194 ANSWERS

SEARCH TIME: 00.00.01

=> d que sta l35

L31 26023 SEA FILE=REGISTRY ABB=ON PLU=ON NCNC2-NC5-C6/ES

L33 STR

Cy~Hy~N

3 1 2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 3

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 3

STEREO ATTRIBUTES: NONE

L35 2972 SEA FILE=REGISTRY SUB=L31 SSS FUL L33

100.0% PROCESSED 26022 ITERATIONS

2972 ANSWERS

SEARCH TIME: 00.00.01

=> => d que sta l30

L12 STR

Hy~N

1 2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 2

STEREO ATTRIBUTES: NONE

L17 SCR 1568  
 L28 4930 SEA FILE=REGISTRY ABB=ON PLU=ON NCSC2-NCNC3/ES AND OC4/ES  
 L30 27 SEA FILE=REGISTRY SUB=L28 SSS FUL L12 AND L17

100.0% PROCESSED 27 ITERATIONS 27 ANSWERS  
 SEARCH TIME: 00.00.01

=> => b hcap  
 FILE 'HCAPLUS' ENTERED AT 10:42:18 ON 04 AUG 2006  
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FILE COVERS 1907 - 4 Aug 2006 VOL 145 ISS 6  
 FILE LAST UPDATED: 2 Aug 2006 (20060802/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs hitind hitstr retable 159 tot

L59 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2006:236816 HCAPLUS  
 DN 144:286177  
 TI Method using toll-like receptor 8 (TLR8) agonists for stimulating the immune response of newborns  
 IN Levy, Ofer; Wessels, Michael; Miller, Richard L.; Tomai, Mark A.  
 PA Children's Medical Center Corporation, USA; 3M Innovative Properties Company  
 SO PCT Int. Appl., 67 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2006029223	A2	20060316	2005WO-US31904	20050908
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI 2004US-607833P	P	20040908		
2005US-692325P	P	20050620		

2005US-694267P P 20050627

AB The invention is based on the surprising discovery that agonists of TLR8 are uniquely efficacious in enhancing (e.g. inducing) the immune response of newborns. Thus, agonists of TLR8 serve as both vaccine adjuvants and as adjunctive therapies for acute infection in newborns, preferably the agonist is a TLR8-selective agonist. The immune response induced, or enhanced, in the neonatal host can be, for example, a cytokine immune response and/or a humoral immune response (e.g., antigen-specific).

CC 1-7 (Pharmacology)  
Section cross-reference(s): 15

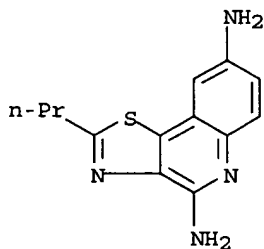
IT Anti-infective agents  
Antibacterial agents  
Antiviral agents  
Combination chemotherapy  
Cord blood  
Fungicides  
Human  
Immunostimulants  
Infection  
Monocyte  
Neoplasm  
Newborn  
Parasitocides  
Prophylaxis  
Signal transduction, biological  
Vaccines  
(toll-like receptor 8 agonist for stimulating immune response of newborn)

IT 162397-26-4 256922-53-9 256922-57-3 256922-63-1 256922-65-3  
256922-70-0 256922-76-6 256922-81-3 256922-82-4  
878555-54-5 878555-55-6 878555-56-7 878555-57-8 878555-58-9  
878555-59-0 878555-60-3  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(toll-like receptor 8 agonist for stimulating immune response of newborn)

IT 256922-70-0  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(toll-like receptor 8 agonist for stimulating immune response of newborn)

RN 256922-70-0 HCAPLUS

CN Thiazolo[4,5-c]quinoline-4,8-diamine, 2-propyl- (9CI) (CA INDEX NAME)



L59 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:216958 HCAPLUS

DN 144:299305

TI Compositions comprising nitrogen-containing heterocycle immune response modifiers for mucosal vaccination

IN Miller, Richard L.; Kieper, William C.

PA 3M Innovative Properties Company, USA

SO U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US2006051374	A1	20060309	2005US-0116476	20050428
PRAI	2004US-566121P	P	20040428		

AB The present invention provides pharmaceutical combinations that include small mol. immune response modifiers (IRMs) formulated for mucosal administration and an antigen formulated for mucosal administration. Addnl., the invention provides methods for immunizing a subject. Generally, the methods include administering an antigen to a mucosal surface of the subject in an amount effective, in combination with an IRM compound, to generate an immune response against the antigen; and administering an IRM compound to a mucosal surface of the subject in an amount effective, in combination with the antigen, to generate an immune response against the antigen. For example, an ovalbumin-IRM1 (N-[6-[[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]1,1-dimethylethyl]amino]-6-oxohexyl]-4-azido-2-hydroxybenzamide) conjugate was prepared and suspended in PBS to a final concentration of 5 mg/mL ovalbumin and 0.5 mg/mL IRM1. Mice were immunized on Day 0 with 100 µg of the ovalbumin-IRM1 conjugate, either intranasally or i.v. Intranasal delivery of antigen plus IRM1 generated greater total ovalbumin-specific CD8+ T cell (OT-I) nos. at Day 7 than i.v. delivery in all lymphoid tissues examined. Also, intranasal delivery of IRM1 plus antigen generated greater total OT-I cell nos. at Day 7 than antigen alone, indicating a dramatic effect of the IRM in enhancing antigen specific T cell activation via that route.

INCL 424204100; 424234100; 514291000

CC 63-3 (Pharmaceuticals)  
Section cross-reference(s): 15

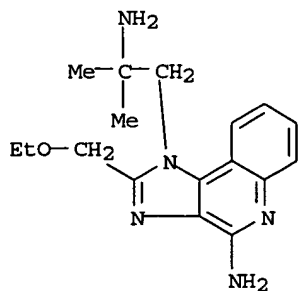
IT 144875-48-9, IRM 4 256922-56-2, IRM 3 642473-95-8, IRM 2 680987-04-6, IRM 1 740809-54-5, IRM 12 845638-55-3, IRM 11 847575-77-3, IRM 9 859875-28-8, IRM 6 862844-28-8, IRM 7 863728-88-5, IRM 8 878499-79-7, IRM 5 878499-80-0, IRM 10

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(comps. comprising antigen and aminopyridine fused to five membered nitrogen-containing heterocycle as immune modifier for mucosal vaccination)

IT 642473-95-8, IRM 2  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(comps. comprising antigen and aminopyridine fused to five membered nitrogen-containing heterocycle as immune modifier for mucosal vaccination)

RN 642473-95-8 HCAPLUS

CN 1H-Imidazo[4,5-c]quinoline-1-ethanamine, 4-amino-2-(ethoxymethyl)-α,α-dimethyl- (9CI) (CA INDEX NAME)



AN 2005:1354875 HCAPLUS  
 DN 144:64394  
 TI Use of a compound in the treatment of sleep disorders  
 IN Sunderraj, Palaniswamy; Shephard, Adrian; Jones, Huw  
 PA Boots Healthcare International Limited, UK  
 SO PCT Int. Appl., 72 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005123074	A1	20051229	2004WO-GB02330	20040601 <--
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA---2524805	AA	20041130	2004CA-2524805	20040601 <--
	AU2004319510	A1	20060105	2004AU-0319510	20040601 <--
	EP---1660082	A1	20060531	2004EP-0735597	20040601 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRAI	2003GB-0012419	A	20030530 <--		
	2004WO-GB02330	W	20040601		

AB A method is disclosed for the treatment of sleep disorders. The method involves administration of triprolidine, in combination with at least one further active pharmaceutical agent, for enabling an individual to wake refreshed after sleep and the method of treating such an individual with triprolidine. Use of triprolidine, in combination with at least one further active pharmaceutical agent, as active ingredient in the manufacture of a composition for the treatment of sleep disorders is also described. A method of treating sleep of a person suffering from a sleep disorder, which method comprises administration of an ED of triprolidine, in combination with at least one further active pharmaceutical agent, as active ingredient to such a person is also described. The triprolidine is administered shortly before a person wishes to fall asleep, preferably orally and most commonly in the form of a tablet containing up to 20mg, e.g. 0.1mg, 1.25mg or 2.5mg, of the active ingredient. The triprolidine is also effective in enabling an individual to sleep more easily.

IC ICM A61K-0031/44  
 ICS A61P-0025/00

CC 1-12 (Pharmacology)

Section cross-reference(s): 2, 63

ST triprolidine pharmaceutical combination therapy sleep disorder

IT Allergy

Allergy inhibitors

Althaea officinalis

Analgesics

Anesthetics

Antacids

Anti-inflammatory agents

Antiasthmatics

Antibiotics

Antidepressants

Antidiuretics

Antihistamines

Antimigraine agents

Antitussives

Antiviral agents  
 Anxiety  
 Anxiolytics  
 Appetite depressants  
 Cartagena ipecacuanha  
 Coating materials  
     Combination chemotherapy  
 Common cold  
 Cough  
 Cranberry  
 Decongestants  
 Disinfectants  
 Eucalyptus  
 Glycyrrhiza  
 Honey  
 Humulus  
 Hyperkinesia  
 Hypnotics and Sedatives  
 Influenza  
 Laxatives  
 Lubricants  
 Matricaria recutita  
 Mucous membrane  
 Passiflora  
 Pimpinella anisum  
 Sleep  
 Sleep disorders  
 Squill (plant)  
 Tranquilizers  
 Valeriana

(method for treatment of sleep disorders)

IT 50-23-7, Hydrocortisone 50-78-2, Aspirin 53-86-1, Indomethacin  
 58-08-2, Caffeine, biological studies 59-42-7, Phenylephrine 61-68-7,  
 Mefenamic acid 73-31-4, Melatonin 76-57-3, Codeine 86-22-6,  
 Brompheniramine 90-82-4, Pseudoephedrine 93-14-1, Guaiphenesin  
 94-09-7, Benzocaine 103-90-2, Paracetamol 123-03-5, Cetylpyridinium  
 chloride 125-71-3, Dextromethorphan 132-22-9, Chlorpheniramine  
 136-77-6, Hexylresorcinol 137-58-6, Lidocaine 378-44-9, Betamethasone  
 486-12-4, Triprolidine 522-51-0, Dequalinium chloride  
 525-66-6, Propranolol 550-70-9, Triprolidine hydrochloride 616-91-1,  
 Acetylcysteine 638-23-3, Carbocysteine 768-94-5, Amantadine  
 1300-94-3, Amylmetacresol 1404-88-2, Tyrothricin 1490-04-6, Menthol  
 4419-39-0, Beclomethasone 5104-49-4, Flurbiprofen 10102-43-9, Nitric  
 oxide, biological studies 12041-76-8, Dichlorobenzyl alcohol  
 13392-28-4, Rimantadine 14838-15-4, Phenylpropanolamine 15307-79-6,  
 Diclofenac sodium 15307-86-5, Diclofenac 15686-51-8, Clemastine  
 15687-27-1, Ibuprofen 18683-91-5, Ambroxol 22071-15-4, Ketoprofen  
 22161-81-5, Dexketoprofen 22204-53-1, Naproxen 36322-90-4, Piroxicam  
 36791-04-5, Tribavirin 39809-25-1, Penciclovir 50679-08-8, Terfenadine  
 57808-66-9, Domperidone 59277-89-3, Aciclovir 59804-37-4, Tenoxicam  
 71125-38-7, Meloxicam 79794-75-5, Loratadine 82410-32-0, Ganciclovir  
 83799-24-0, Fexofenadine 83881-51-0, Cetirizine 87848-99-5,  
 Acrivastine 89796-99-6, Aceclofenac 103628-46-2, Sumatriptan  
 104227-87-4, Famciclovir 121679-13-8, Naratriptan 124832-26-4,  
 Valaciclovir 139110-80-8, Zanamir 139264-17-8, Zolmatriptan  
 144034-80-0, Rizatriptan 154323-57-6, Almotriptan 162011-90-7,  
 Rofecoxib 169590-42-5, Celecoxib 204255-11-8, Oseltamir phosphate  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

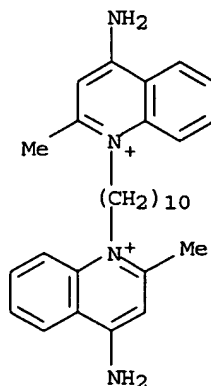
(method for treatment of sleep disorders)

IT 522-51-0, Dequalinium chloride 124832-26-4, Valaciclovir  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(method for treatment of sleep disorders)

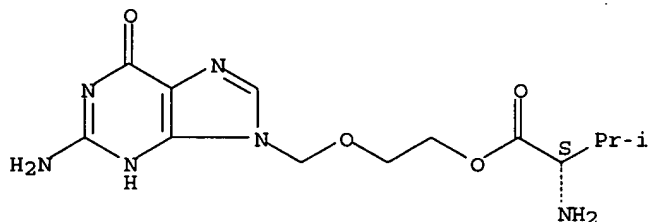
RN 522-51-0 HCAPLUS  
 CN Quinolinium, 1,1'-(1,10-decanediyl)bis[4-amino-2-methyl-, dichloride (9CI)

(CA INDEX NAME)

● 2 Cl<sup>-</sup>

RN 124832-26-4 HCAPLUS  
 CN L-Valine, 2-[(2-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)methoxy]ethyl ester  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



## RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
=====	=====	=====	=====	=====	=====
Anon	2001			<a href="http://www.drugs.com">http://www.drugs.com</a>	
Anon	1998			<a href="http://www.netdoctor">http://www.netdoctor</a>	
Feng-Jing, C	2003			US2003180352 A1	
John, S	1964			US---3146169 A	HCAPLUS
Michael, N	2001			US---6245785 B1	HCAPLUS
Mignot, E	2003			WO--03032912 A	HCAPLUS

L59 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1292120 HCAPLUS

DN 144:27615

TI Pharmaceutical combination and method for treatment of reactive  
 arthritis or bursitis

IN Bonner, Ernest L.; Hines, Robert

PA Ficaar, Inc., USA

SO U.S. Pat. Appl. Publ., 5 pp., Cont.-in-part of U.S. Ser. No. 54,921.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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noble jarrell 08/08/2006



PI US2005272673 A1 20051208 2005US-0096260 20050329 <--  
 US---6087382 A 20000711 1999US-0270962 19990317 <--  
 US---6465473 B1 20021015 2000US-0510704 20000222 <--  
 US2003055022 A1 20030320 2002US-0271117 20021015 <--  
 US---6765000 B2 20040720  
 CA---2502397 AA 20040429 2003CA-2502397 20031014 <--  
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 WO2004034987 A3 20040715  
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 AU2003284231 A1 20040504 2003AU-0284231 20031014 <--  
 EP---1558266 A2 20050803 2003EP-0776410 20031014 <--  
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 JP2006503095 T2 20060126 2004JP-0545314 20031014 <--  
 CN---1729006 A 20060201 CN 2003-80103653 20031014 <--  
 US2005059640 A1 20050317 2004US-0896612 20040720 <--  
 US---7053073 B2 20060530  
 US2005137181 A1 20050623 2005US-0054921 20050209 <--  
 PRAI 1999US-0270962 A2 19990317 <--  
 2000US-0510704 A2 20000222 <--  
 2002US-0271117 A2 20021015 <--  
 2004US-0896612 A2 20040720  
 2005US-0054921 A2 20050209  
 2003WO-US32653 W 20031014 <--  
 AB A method for treatment of conditions in human beings associated with either  
 or both reactive arthritis or bursitis comprising administering a  
 combination of a member from each of the following groups of  
 medications: (1) synthetic purine nucleoside analog antiviral drugs, (2)  
 antibiotic drugs, and (3) imidazole drugs. Alternate embodiments of the  
 invention include dual combinations of (A) a member of the  
 synthetic purine nucleoside analog group of antiviral drugs and a member  
 of the antibiotic group of drugs, (B) a member of the antibiotic group of  
 drugs and a member of the imidazole family of drugs, and (C) a member of  
 the synthetic purine nucleoside analog group of antiviral drugs and a  
 member of the imidazole group of drugs. A 52 yr old male presented with  
 complaints of bilateral knee and left wrist pain. He also noted associated  
 morning stiffness. He was treated with minocycline hydrochloride 100 mg  
 BID and acyclovir 400 mg BID. This resulted in significant improvement,  
 but not total resolution of his complaints of pain and stiffness in his knees  
 and left wrist.  
 IC ICM A61K-0031/7076  
 ICS A61K-0031/52; A61K-0031/522; A61K-0031/7048  
 INCL 514029000; 514045000; 514263230  
 CC 63-6 (Pharmaceuticals)  
 Section cross-reference(s): 1  
 IT Purine nucleosides  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (analogs; pharmaceutical combination and method for treatment  
 of reactive arthritis or bursitis)  
 IT Pain  
 (ankle; pharmaceutical combination and method for treatment  
 of reactive arthritis or bursitis)  
 IT Antibiotics  
 (macrolide; pharmaceutical combination and method for  
 treatment of reactive arthritis or bursitis)  
 IT Antibiotics

Antimicrobial agents

Antiviral agents

Arthritis

Human

(pharmaceutical combination and method for treatment of reactive arthritis or bursitis)

IT Ketolides

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical combination and method for treatment of reactive arthritis or bursitis)

IT Antibiotics

( $\beta$ -lactam; pharmaceutical combination and method for treatment of reactive arthritis or bursitis)

IT 443-48-1, Metronidazole 10118-90-8, Minocycline 13614-98-7, Minocycline hydrochloride 59277-89-3, Acyclovir 124832-27-5, Valacyclovir hydrochloride

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical combination and method for treatment of reactive arthritis or bursitis)

IT 124832-27-5, Valacyclovir hydrochloride

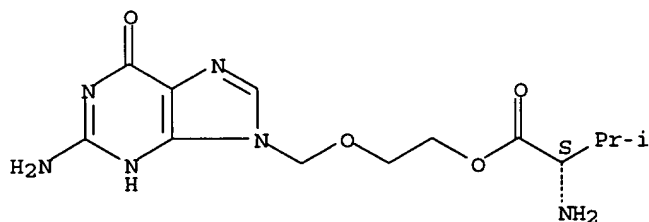
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical combination and method for treatment of reactive arthritis or bursitis)

RN 124832-27-5 HCAPLUS

CN L-Valine, 2-[(2-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)methoxy]ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L59 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:220118 HCAPLUS

DN 142:273978

TI Administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus

IN Averett, Devron R.

PA USA

SO U.S. Pat. Appl. Publ., 78 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US2005054590	A1	20050310	2004US-0931130	20040901 <--
	AU2004271972	A1	20050324	2004AU-0271972	20040901 <--
	CA---2537450	AA	20050324	2004CA-2537450	20040901 <--
	WO2005025583	A2	20050324	2004WO-US28236	20040901 <--

noble jarrell 04/08/2006

WO2005025583 A3 20050519  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
EP---1667694 A2 20060614 2004EP-0782670 20040901 <--  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR  
PRAI 2003US-500339P P 20030905 <--  
2003US-518996P P 20031110 <--  
2003US-518997P P 20031110 <--  
2004WO-US28236 W 20040901  
OS MARPAT 142:273978  
AB This invention relates to methods for treating or preventing hepatitis C virus infections in mammals using Toll-Like Receptor (TLR)7 ligands and prodrugs thereof. More particularly, this invention relates to methods of orally administering a therapeutically effective amount of one or more prodrugs of TLR7 ligands for the treatment or prevention of hepatitis C viral infection. Oral administration of these TLR7 immunomodulating ligands and prodrugs thereof to a mammal provides therapeutically effective amts. and reduced undesirable side effects.  
IC ICM A61K-0031/7076  
ICS A61K-0031/522; A61K-0031/513; A61K-0031/4745  
INCL 514043000; 514045000; 514269000; 514263380; 514292000  
CC 1-5 (Pharmacology)  
Section cross-reference(s): 15, 26, 33, 63  
IT Hepatitis  
(C; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)  
IT Receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(TLR7 (Toll-like receptor-7); administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)  
IT Antiviral agents  
Combination chemotherapy  
Hepatitis C virus  
Human  
Vomiting  
(administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)  
IT Drug delivery systems  
(carriers; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)  
IT Hemorrhage  
(digestive tract; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)  
IT Drug delivery systems  
(excipients; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)  
IT Digestive tract, disease  
(hemorrhage; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)

- IT Infection  
(hepatitis C; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT Drug delivery systems  
(injections, i.v.; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT Digestive tract, disease  
(irritation; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT Digestive tract, disease  
(lesions; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT Drug delivery systems  
(mucosal; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT Drug delivery systems  
(oral; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT Drug delivery systems  
(parenterals; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT Drug delivery systems  
(prodrugs; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT Drug delivery systems  
(vehicles; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT Infection  
(viral; administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT 533897-68-6P  
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT 226908-75-4P 847453-42-3P  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT 122970-40-5, Isatoribine 226907-52-4 533897-38-0 847453-35-4 847453-38-7 847453-47-8  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
(administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)
- IT 85658-55-5P, 5-Bromo-4-phenylpyrimidin-2-ylamine 154379-07-4P 168430-20-4P 226908-77-6P 847453-04-7P 847453-08-1P 847453-12-7P

847453-14-9P 847453-15-0P 847453-19-4P 847453-26-3P  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)

IT 124737-24-2P 533897-42-6P 533897-65-3P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)

IT 144875-48-9  
 RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
 (administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)

IT 847453-10-5P 847453-16-1P 847453-17-2P 847453-18-3P 847453-20-7P  
 847453-21-8P 847453-22-9P 847453-23-0P 847453-24-1P 847453-25-2P  
 847453-27-4P 847453-30-9P 847453-33-2P 847453-43-4P  
 847453-50-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)

IT 73-24-5D, Adenine, analogs 118-00-3D, Guanosine, analogs 289-95-2D, Pyrimidine, analogs 62160-23-0 847453-51-4 847453-52-5  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)

IT 77-76-9, 2,2-Dimethoxypropane 108-86-1, Bromobenzene, reactions 109-12-6, 2-Aminopyrimidine 541-41-3, Ethyl chloroformate 623-78-9, N-Ethylurethane 994-30-9, Chlorotriethylsilane 1609-47-8, Diethyl pyrocarbonate 13734-41-3 18162-48-6, tert-Butyldimethylsilyl chloride 56741-95-8 87386-81-0 91526-18-0, 4-Hydroxymethyl-5-methyl-[1,3]dioxol-2-one 99011-02-6 121288-39-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)

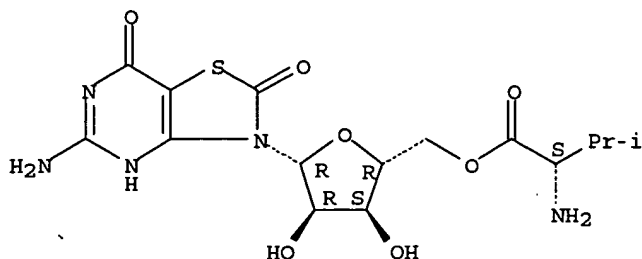
IT 2305-87-5P 124737-25-3P 533897-16-4P 847453-05-8P 847453-06-9P  
 847453-07-0P 847453-09-2P 847453-28-5P 847453-29-6P 847453-31-0P  
 847453-32-1P 847453-34-3P 847453-36-5P 847453-37-6P 847453-39-8P  
 847453-40-1P 847453-41-2P 847453-44-5P 847453-45-6P 847453-46-7P  
 847453-48-9P 847453-49-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)

IT 533897-68-6P  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)

RN 533897-68-6 HCAPLUS

CN L-Valine, 5'-ester with 5-amino-3- $\beta$ -D-ribofuranosylthiazolo[4,5-d]pyrimidine-2,7(3H,4H)-dione (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847453-27-4P

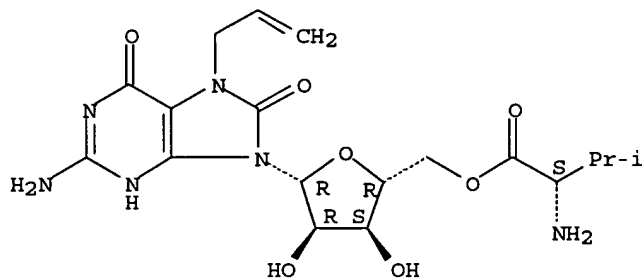
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus with fewer side effects in combination with other agents)

RN 847453-27-4 HCAPLUS

CN L-Valine, 5'-ester with 7,8-dihydro-8-oxo-7-(2-propenyl)guanosine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L59 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:589386 HCAPLUS

DN 141:139130

TI Vaccines comprising TLR agonist, TNF/TNF receptor agonist and antigen for inducing cellular immune response against infection or tumor

IN Noelle, Randolph J.; Ahonen, Cory L.; Kedl, Ross M.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2004060319	A2	20040722	2003WO-US41796	20031230
	WO2004060319	A3	20041104		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

noble jarrell 08/08/2006

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA---2511538 AA 20040722 2003CA-2511538 20031230  
 US2004141950 A1 20040722 2003US-0748010 20031230  
 AU2003300184 A1 20040729 2003AU-0300184 20031230  
 EP---1578419 A2 20050928 2003EP-0800433 20031230

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP2006512391 T2 20060413 2004JP-0564947 20031230

PRAI 2002US-437398P P 20021230  
 2003WO-US41796 W 20031230

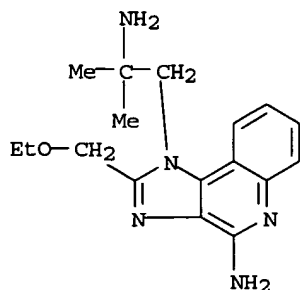
AB The present invention provides immunostimulatory combinations. Generally, the immunostimulatory combinations include a TLR agonist, a TNF or TNF receptor agonist and an tumor antigen or viral, bacterial or parasitic antigen. The TLR agonist is an agonist of TLR1-10 e.g. IRM compound, MALP-2, LPS, polyIC, CpG or any combination. The TNF agonist is an agonist or antibody against CD40L, OX40 ligand, 4-1BB ligand, CD27, CD30 ligand, TNF- $\alpha$ , TNF- $\beta$ , RANK ligand, LT- $\alpha$ , LT- $\beta$ , GITR ligand or LIGHT. The TNF receptor agonist is an antibody or agonist of CD40, OX40, 4-1BB, CD27 ligand, CD30, TNFR2, RANK, LT- $\alpha$ R, LT- $\beta$ R, HVEM, GITR, TROY or RELT. These immunostimulatory combinations are useful for inducing Th1 immune response or antigen-specific CD8+ effector and memory T cell response against infectious and neoplastic conditions.

IC ICM A61K  
 CC 15-2 (Immunochemistry)  
 Section cross-reference(s): 1, 63

IT 2382-65-2D, derivs. 24939-03-5, PolyIC 132207-04-6D, 1H-Imidazo[4,5-c]quinolin-4-amine, compds. 151751-58-5 250718-44-6, MALP-2 437383-09-0 532959-63-0 642473-39-0 642473-95-8  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (vaccines comprising TLR agonist, TNF/TNFR agonist and antigen for inducing cellular immune response against infection or tumor)

IT 642473-95-8  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (vaccines comprising TLR agonist, TNF/TNFR agonist and antigen for inducing cellular immune response against infection or tumor)

RN 642473-95-8 HCAPLUS  
 CN 1H-Imidazo[4,5-c]quinoline-1-ethanamine, 4-amino-2-(ethoxymethyl)- $\alpha,\alpha$ -dimethyl- (9CI) (CA INDEX NAME)

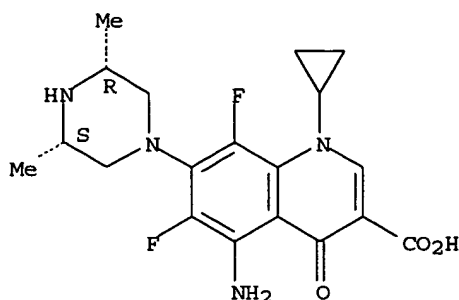


L59 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:999374 HCAPLUS  
 DN 140:178153  
 TI Efficacy of amikacin combinations for nocardiosis  
 AU Kanemitsu, Keiji; Kunishima, Hiroyuki; Saga, Tomoo; Harigae, Hideo;

Ishikawa, Shiho; Takemura, Hiromu; Kaku, Mitsuo  
 CS Department of Molecular Diagnostics, Tohoku University Graduate School of  
 Medicine, Sendai, 980-8574, Japan  
 SO Tohoku Journal of Experimental Medicine (2003), 201(3), 157-163  
 CODEN: TJEMAO; ISSN: 0040-8727  
 PB Tohoku University Medical Press  
 DT Journal  
 LA English  
 AB The authors isolated 5 bacterial strains from patients diagnosed as having  
 nocardiosis. Bacterial species were identified based on the similarities  
 in the nucleotide sequences of 16S rRNAs. 3 Of the 5 strains were  
 identified as *Nocardia asteroides*, but unexpectedly other 2 were  
*Streptomyces hygroscopicus*, and *Rothia dentocariosa*. The latter 2 species  
 are not members of the family *Nocardiaceae*. The authors investigated the  
 susceptibilities of these 5 strains to the following 9 antimicrobial  
 agents: trimethoprim/sulfamethoxazole (TMP/SMX), minocycline (MINO),  
 erythromycin (EM), amikacin (AMK), cefotaxime (CTX), faropenem (FRPM),  
 imipenem (IPM), ciprofloxacin (CPFX), and sparfloxacin (SPFX). The min.  
 inhibitory concentration (MIC) ranges (mg/mL) were as follows: TMP-SMX, 4- > 32;  
 MINO, 0.125-8; EM, ≤ 0.016- > 32; AMK, 1-2; CTX, 0.063- > 32; FRPM,  
 0.063-16; IPM, 0.125-2; CPFX, 4-32; and SPFX, 0.5-16. Moreover, the  
 synergistic effects of AMK in combination with each of TMP-BMX,  
 MINO, EM, CTX, IPM, and SPFX were investigated by checkerboard synergy  
 testing. No antagonism was recognized for the 3 *N. asteroides* strains.  
 Synergistic and additive effects were observed for the combinations  
 of AMK with CTX, IPM, or SPFX.  
 CC 10-5 (Microbial, Algal, and Fungal Biochemistry)  
 IT Drug interactions  
 (additive; amikacin combinations activity against nocardiosis  
 causing pathogens)  
 IT Antibacterial agents  
 Antibiotic resistance  
 Antibiotics  
*Nocardia asteroides*  
*Rothia dentocariosa*  
*Streptomyces hygroscopicus*  
 (amikacin combinations activity against nocardiosis causing  
 pathogens)  
 IT Antibiotics  
 (macrolide; amikacin combinations activity against  
 nocardiosis causing pathogens)  
 IT Drug interactions  
 (synergistic; amikacin combinations activity against  
 nocardiosis causing pathogens)  
 IT Antibiotics  
 (β-lactam; amikacin combinations activity against  
 nocardiosis causing pathogens)  
 IT 114-07-8, Erythromycin 8064-90-2 13614-98-7, Minomycin 37517-28-5,  
 Amikacin 63527-52-6, Cefotaxime 64221-86-9, Imipenem 85721-33-1,  
 Ciprofloxacin 106560-14-9, Faropenem 110871-86-8, Sparfloxacin  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (amikacin combinations activity against nocardiosis causing  
 pathogens)  
 IT 110871-86-8, Sparfloxacin  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (amikacin combinations activity against nocardiosis causing  
 pathogens)  
 RN 110871-86-8 HCAPLUS  
 CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-7-[(3R,5S)-3,5-dimethyl-  
 1-piperazinyl]-6,8-difluoro-1,4-dihydro-4-oxo-, rel- (9CI) (CA INDEX  
 NAME)

Relative stereochemistry.





## RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Ambaye, A	1997	35	847	J Clin Microbiol	HCAPLUS
Beaman, B	1998	66	4676	Infect Immun	HCAPLUS
Beaman, B	1976	134	286	J Infect Dis	MEDLINE
Broeren, S	1984	37	1298	J Clin Pathol	MEDLINE
Christine, S	1998	39	793	J Am Acad Dermatol	
Chun, J	1995	45	240	Int J Syst Bacteriol	HCAPLUS
Climo, M	1999	43	1747	Antimicrob Agents Ch	HCAPLUS
Filice, G	2000		197	Fungal Disease of th	
Gomberd, M	1983	24	810	Antimicrob Agents Ch	
Holmberg, K	1973	76	43	J Gen Microbiol	MEDLINE
Isaacson, J	1988	84	352	Am J Med	MEDLINE
Kursat, S	1997	75	370	Nephron	MEDLINE
Laurent, F	1999	37	99	J Clin Microbiol	HCAPLUS
Marchetti, O	2000	44	2373	Antimicrob Agents Ch	HCAPLUS
McNeil, M	1992	15	435	Clin Infect Dis	
Menendes, R	1997	10	1542	Eur Respir J	
Minamoto, G	1998	26	242	Clin Infect Dis	MEDLINE
Mouton, J	1999	43	2473	Antimicrob Agents Ch	HCAPLUS
National Committee for	2001			Methods for dilution	
Prigogine, T	1998	26	222	Clin Infect Dis	
Ruimy, R	1996	46	259	Int J Syst Bacteriol	MEDLINE
Steingrube, V	1995	33	3096	J Clin Microbiol	HCAPLUS
Steingrube, V	1997	35	817	J Clin Microbiol	HCAPLUS
Wallace, R	1988	32	1776	Antimicrob Agents Ch	HCAPLUS
Wallace, R	1990	28	2726	J Clin Microbiol	
Wallace, R	1991	29	2407	J Clin Microbiol	
Wilson, R	1997	35	2235	J Clin Microbiol	HCAPLUS

L59 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:991285 HCAPLUS

DN 140:53409

TI Method for treating autoimmune or inflammatory diseases with  
combinations of inhibitory oligonucleotides and small molecule  
antagonists of immunostimulatory CpG nucleic acids

IN Krieg, Arthur M.

PA Coley Pharmaceutical Group, Inc., USA

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2003103586	A2	20031218	2003WO-US17733	20030605 <--
	WO2003103586	A3	20040930		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
 PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,  
 TZ, UA, UG, UZ, VC, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
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AU2003243409 A1 20031222 2003AU-0243409 20030605 <--

US2004009949 A1 20040115 2003US-0455247 20030605 <--

PRAI 2002US-386274P P 20020605 <--

2003WO-US17733 W 20030605 <--

OS MARPAT 140:53409

AB Improved methods are provided for inhibiting nucleic acid-induced immune activation and for treating autoimmune disease. The methods involve using an inhibitory nucleic acid in synergistic combination with a small mol. antagonist of immunostimulatory CpG nucleic acids. Inhibitory nucleic acids useful according to the invention include poly G nucleic acids. Small mol. antagonists of immunostimulatory CpG nucleic acids useful according to the invention include chloroquine and derivs. of chloroquine-like mols., including substituted 2-phenylquinolin-4-amines. It is possible that one or both of the inhibitory nucleic acid and the small mol. antagonist of immunostimulatory CpG nucleic acids may directly bind to Toll-like receptor-9 (TLR9) and/or prevent the foreign nucleic acid or host nucleic acid/immune complex from binding to TLR9, or the inhibitory effect could also come at a downstream point in the TLR9 signaling pathway.

IC ICM A61K

CC 1-7 (Pharmacology)

IT Hepatitis

(B; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Hepatitis

(C; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Eubacteria

(CpG-containing nucleic acids; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Immune complexes

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (CpG-containing nucleic acids; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT DNA

Nucleic acids

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (CpG-containing; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (TLR-9 (Toll-like receptor-9); treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Blood vessel

(endothelium, cell; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9

receptor-expressing cells)

IT Inflammation  
Kidney, disease  
(glomerulonephritis; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Transplant and Transplantation  
(graft-vs.-host reaction; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Infection  
(hepatitis B; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Infection  
(hepatitis C; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Oligodeoxyribonucleotides  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(immunostimulatory CpG-containing; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids)

IT Hepatitis B virus  
Hepatitis C virus  
(infection; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Intestine, disease  
(inflammatory; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Autoimmune disease  
(insulin-dependent diabetes mellitus; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Diabetes mellitus  
(insulin-dependent; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Mammary gland, neoplasm  
(paraneoplastic autoimmune disease; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Autoimmune disease  
(paraneoplastic; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Dendritic cell  
(plasmacytoid; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT Carcinoma  
(pulmonary small-cell, paraneoplastic autoimmune disease; treating autoimmune or inflammatory diseases with combinations of

- inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)
- IT Lung, neoplasm  
(small-cell carcinoma, paraneoplastic autoimmune disease; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)
- IT Drug interactions  
(synergistic; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)
- IT Lupus erythematosus  
(systemic; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)
- IT Antirheumatic agents  
Autoimmune disease  
B cell (lymphocyte)  
Human  
Immunosuppressants  
Macrophage  
Multiple sclerosis  
Rheumatoid arthritis  
Signal transduction, biological  
Sjogren syndrome  
(treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)
- IT Oligonucleotides  
Phosphorothioate oligonucleotides  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)
- IT Endothelium  
(vascular, cell; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)
- IT 637060-28-7 637060-29-8 637060-30-1 637060-31-2  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(immunostimulatory CpG-containing oligodeoxynucleotide; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids)
- IT 2382-65-2  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(nucleic acids containing; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)
- IT 25191-14-4, Poly G  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(nucleic acids containing; treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)
- IT 267394-75-2, GenBank AF259262 272426-49-0, GenBank AF245704

330545-35-2, GenBank AF348140

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT 54-05-7, Chloroquine 83-89-6, Quinacrine 90-45-9D, 9-Aminoacridine, derivs. 118-42-3, Hydroxychloroquine 578-68-7D, 4-Quinolinamine, derivs. 5855-52-7D, 2-Phenylquinolin-4-amine, derivs. 12125-02-9, Ammonium chloride, biological studies 17090-79-8, Monensin 81552-33-2, Concanamycin B 116764-51-3, Bafilomycin A 133671-50-8 150314-42-4 194919-92-1 241817-38-9 313822-97-8 313824-07-6 313824-15-6 313824-20-3 313826-09-4 637060-08-3 637060-09-4 637060-10-7 637060-11-8 637060-12-9 637060-13-0 637060-14-1 637060-15-2 637060-16-3 637060-17-4 637060-18-5 637060-19-6 637060-20-9 637060-21-0 637060-22-1 637060-23-2 637060-24-3 637060-25-4 637060-26-5 637060-27-6, 23: PN: WO03103586

SEQID: 20 claimed DNA

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

IT 637063-52-6 637063-54-8

RL: PRP (Properties)

(unclaimed nucleotide sequence; method for treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids)

IT 637063-53-7 637063-55-9

RL: PRP (Properties)

(unclaimed protein sequence; method for treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids)

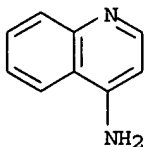
IT 578-68-7D, 4-Quinolinamine, derivs. 5855-52-7D, 2-Phenylquinolin-4-amine, derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treating autoimmune or inflammatory diseases with combinations of inhibitory oligonucleotides and small mol. antagonists of immunostimulatory CpG nucleic acids by contacting TLR-9 receptor-expressing cells)

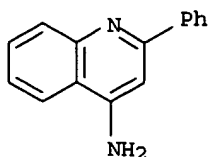
RN 578-68-7 HCAPLUS

CN 4-Quinolinamine (9CI) (CA INDEX NAME)



RN 5855-52-7 HCAPLUS

CN 4-Quinolinamine, 2-phenyl- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 09:30:55 ON 04 AUG 2006)

FILE 'HCAPLUS' ENTERED AT 09:33:52 ON 04 AUG 2006

L1 2 US2004162309/PN OR (US2004-777310 OR US2003-441179#)/AP,PRN  
E GORDEN K/AU  
L2 16 E4-6  
E QUI X/AU  
L3 13 E3-7  
E QUI XIAOHONG/AU  
E QUI XIAO/AU  
L4 1 E3  
E VASILAKOS J/AU  
L5 30 E3-5  
L6 5373 3M/CS,PA

FILE 'REGISTRY' ENTERED AT 09:36:16 ON 04 AUG 2006

FILE 'HCAPLUS' ENTERED AT 09:36:17 ON 04 AUG 2006

L7 TRA L1 1- RN : 68 TERMS

FILE 'REGISTRY' ENTERED AT 09:36:17 ON 04 AUG 2006

L8 68 SEA L7  
L9 66 L8 AND RSD/FA  
L10 1 PURINE/CN  
L11 1 PYRIMIDINE/CN  
L12 STR  
L13 158222 (NCNC2-NC5-C6 OR NCNC2-NC5 OR NCNC2-NC5-NC5 OR NCOC2-NC5-C6 OR  
L14 1451827 (NCOC2-NC5-NC5 OR NCSC2-NC5-NC5 OR NC5-C6 OR NC5-NC5 OR NCNC2-C  
L15 1606332 L13-14  
L16 50 L12 SAM SUB=L15  
L17 SCR 1568  
L18 50 L12 AND L17 SAM SUB=L15  
L19 67530 L12 AND L17 FULL SUB=L15  
L20 1 L9 AND C26H31N5O4  
L21 194 1819.154.1/RID AND L19  
L22 2 L19,L21 AND L8-9  
L23 STR  
L24 STR L23  
L25 46 L24 AND L17  
L26 1194 L24 AND L17 FULL  
SAV TEM L19 ROB310F0/A  
SAV TEM L26 ROB310F1/A  
L27 41839 NCSC2-NCNC3/ES  
L28 4930 NCSC2-NCNC3/ES AND OC4/ES  
L29 2 L12 AND L17 SAM SUB=L28  
L30 27 L12 AND L17 FULL SUB=L28  
L31 26023 NCNC2-NC5-C6/ES  
L32 STR L12  
L33 STR L32  
L34 50 L33 SAM SUB=L31  
L35 2972 L33 FULL SUB=L31

FILE 'REGISTRY' ENTERED AT 10:16:48 ON 04 AUG 2006

noble jarrell 08/08/2006

SAV TEM L35 ROB310F2/A  
SAV TEM L30 ROB310F3/A  
L36 70780 L19,L26,L30,L35  
L37 14 L36 AND L8-9

FILE 'HCAPLUS' ENTERED AT 10:18:55 ON 04 AUG 2006  
L38 35042 L36  
L39 74 L38 AND L1-6  
L40 3 L39 AND (COMBINA? OR COTHERAP? OR COADMIN?)  
L41 1878 L38 AND (COMBINA? OR COTHERAP? OR COADMIN?)  
L42 QUE PY<=2003 OR AY<=2003 OR PRY<=2003 OR PRD<=20030213 OR AD<=2  
L43 1612 L41 AND L42  
E TOLL LIKE/CT  
E E5+ALL  
E RECEPTORS/CT  
E E3+ALL  
L44 4871 E6+OLD,NT (L) TOLL  
L45 3 L44 AND L43  
L46 1 L45 AND L1-6  
L47 2 L45 NOT L46  
L48 1609 L43 NOT L45  
L49 680 L48 AND P/DT  
SEL AN 5-7 9  
L50 4 E1-8 AND L49  
SEL HIT RN

FILE 'REGISTRY' ENTERED AT 10:31:10 ON 04 AUG 2006  
L51 6 E9-14  
SEL RN 4-6  
L52 3 E15-17

FILE 'HCAPLUS' ENTERED AT 10:33:32 ON 04 AUG 2006  
L53 2 L52 AND L50  
L54 929 L48 NOT L49  
SEL AN 1 5 6 15  
L55 4 E18-25 AND L54  
SEL HIT RN

FILE 'REGISTRY' ENTERED AT 10:39:12 ON 04 AUG 2006  
L56 9 E26-34  
L57 1 C19H22F2N4O3 AND L56

FILE 'HCAPLUS' ENTERED AT 10:40:28 ON 04 AUG 2006  
L58 1 L57 AND L55  
L59 8 L40,L47,L53,L58

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